

## PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 70238		<b>FOR FURTHER ACTION</b> See Form PCT/PEA/416	
International application No. PCT/EP2004/002291		International filing date (day/month/year) 05.03.2004	Priority date (day/month/year) 07.03.2003
International Patent Classification (IPC) or national classification and IPC C07D213/79, C07D213/80, C07D405/12, C07D409/12, C07D401/12, C07D413/12, C07D417/12, C07D401/06, C07D401/08, C07D417/06, C07D417/08, C07D413/06, C07D413/08			
Applicant SYNGENTA PARTICIPATIONS AG et al.			
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>			
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>			
Date of submission of the demand 22.07.2004		Date of completion of this report 24.01.2005	
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Kollmannsberger, M Telephone No. +49 89 2399-7364 	

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**Box No. I Basis of the report**

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1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements\*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

**Description, Pages**

1-85 as originally filed

**Claims, Numbers**

1-4 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
  - ☐ the claims, Nos.
  - ☐ the drawings, sheets/figs
  - ☐ the sequence listing (*specify*):
  - ☐ any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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1. Statement

Novelty (N)	Yes: Claims	1-4
	No: Claims	
Inventive step (IS)	Yes: Claims	1-3
	No: Claims	4
Industrial applicability (IA)	Yes: Claims	1-4
	No: Claims	

2. Citations and explanations (Rule 70.7):

**see separate sheet**

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**Box No. VI Certain documents cited**

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1. Certain published documents (Rule 70.10)  
and /or

2. Non-written disclosures (Rule 70.9)

**see separate sheet**

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**Re Item V**

**Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**V.1. State of the art:**

The following documents have been cited:

- D1: EP-A-1 340 747 (BAYER AG) 3 September 2003 (2003-09-03)
- D2: WO 00/39094 A (NOVARTIS ERFIND VERWALT GMBH ; KUNZ WALTER (CH); NOVARTIS AG (CH); EDM) 6 July 2000 (2000-07-06)
- D3: PATENT ABSTRACTS OF JAPAN vol. 2000, no. 23, 10 February 2001 (2001-02-10) & JP 2001 158774 A (ISHIHARA SANGYO KAISHA LTD), 12 June 2001 (2001-06-12)
- D4: BOTTORFF, E M; JONES R G, KORNFELD E C; MANN M J: "Pyridine Syntheses. I. Some Reactions of "Ene Amines" with 1,3-dicarbonyl derivatives" JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 73, 1951, pages 4380-4383, XP002286147
- D5: WO 01/94339 A (EDMUNDS ANDREW ; LUETHY CHRISTOPH (CH); MESMAEKER ALAIN DE (CH); SYNGE) 13 December 2001 (2001-12-13)

D1 is a P-document and will be disregarded at this stage of the procedure

**V-1. Novelty (Art. 33(2) PCT).**

D2 discloses (see page 32 scheme 3 cyclisation step) a cyclisation process in which compounds corresponding to formula (III) according to present claim 4 are used to prepare pyridine derivatives corresponding to structure (I) of present claim 1. Since the substituent R501 in D2 (which corresponds to R1-X1-R2 in the present claims) is haloalkyl which is excluded in the present claims, claim 4 is novel.

D2 and D3 correspond to the preparation of pyridines not included in structure (I) of the present claims because of the substituent corresponding to R1-X1-R2 being hydrogen (D3) or because of the substituent corresponding to R05 being a

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carboxylate (D4). Claims 1 and 2 are thus novel.

The intermediates of claim 3 are not specifically disclosed in the cited documents. Claim 3 is thus novel.

**V-2. Inventive step (Art 33(3) PCT)**

Compounds of structure (I) are known i. a. from D5 (see claim 1). The synthesis routes disclosed in D5 do not include cyclisation steps to prepare the pyridine ring. D5 only describes modifications at the pyridine ring. D5 is regarded as the closest state of the art because it also deals with the preparation of the final compounds (I). The problem to be solved by the present application is to find alternative synthesis methods to prepare the pyridines (I).

Processes for producing pyridines (I) from enamines (III) and modified dicarbonyl compounds (II) as claimed in claim 1 are known (see D3/D4, passages as cited in the search report). The process described in D2 only differs from the process as claimed in claim 1 in the substituent corresponding to R1-X1-R2 (hydrogen is excluded in the present claims). The process disclosed in D4 only differs from the process as claimed in claim 1 in the substituent corresponding to R05 (esters are excluded in the present claims). However, the process of D3 is carried out under base catalysis and the process in D4 without catalyst. Since the use of proton sources is not suggested in the cited documents, Claims 1-3 are considered inventive.

D2 discloses the use of compounds (III) for the preparation of pyridines (I) (see schem 3 on page 32 of D2). Claim 4 is formally novel since the substituent "haloalkyl" has been omitted from the list defining R1-X1-R2 on page 88 line 5 of the present claims set. The use of compounds (II) not having haloalkyl at this position but instead one of the substituents according to the long listing in present claim 1 (e. g. alkyl) for the same purpose must be seen as an obvious alternative of the use of compounds as defined in claim 4. Claim 4 is thus not inventive. Additionally, claim 4 must also be seen as an obvious alternative to the processes known from D3 and D4 since claim 4 does not specify any reaction conditions.

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**Re Item VI**

**Certain documents cited**

**Certain published documents**

Application No Patent No	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
EP-A-1340747	03.09.2003	17.02.2003	28.02.2002